

FILE 'HOME' ENTERED AT 14:35:15 ON 16 APR 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:35:57 ON 16 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

DICTIONARY FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

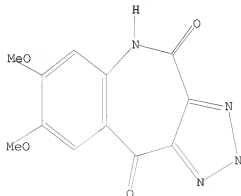
Uploading C:\Program Files\Stnexp\Queries\10561212-1.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 14:40:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 2 TO 124

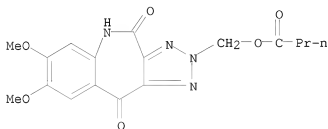
L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Butanoic acid, (5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)methyl ester

MF C17 H18 N4 O6



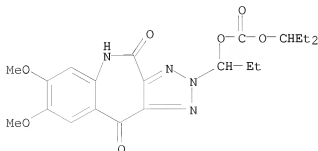
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)propyl 1-ethylpropyl ester

MF C21 H26 N4 O7



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
4.14	4.35

FILE 'CAPLUS' ENTERED AT 14:41:24 ON 16 APR 2008  
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FILE COVERS 1907 - 16 Apr 2008 VOL 148 ISS 16  
FILE LAST UPDATED: 15 Apr 2008 (20080415/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l2 and aller?  
1 L2  
78087 ALLER?  
L3 1 L2 AND ALLER?

=> dis l3 bib abs hitstr

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 1999:233920 CAPLUS  
DN 130:282073  
TI Preparation of tricyclic triazolobenzazepine derivatives as prodrugs for antiallergic agents  
IN Ohtsuka, Yasuo; Nishizuka, Toshio; Shiokawa, Sohjiro; Tsutsumi, Seiji; Kawaguchi, Mami; Kitagawa, Hideo; Takata, Hiromi; Shishikura, Takashi; Ishikura, Toyooki; Fushihara, Kenichi; Okada, Yumiko; Miyamoto, Sachiko; Shiobara, Maki  
PA Meiji Seika Kaisha, Ltd., Japan  
SO PCT Int. Appl., 143 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9916770	A1	19990408	WO 1998-JP4363	19980929

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2305307	A1	19990408	CA 1998-2305307	19980929
CA 2305307	C	20041130		
AU 9891869	A	19990423	AU 1998-91869	19980929
AU 744636	B2	20020228		
EP 1026167	A1	20000809	EP 1998-944289	19980929
EP 1026167	B1	20030305		

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IE, FI

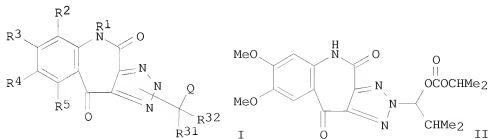
TR 200000808	T2	20000821	TR 2000-808	19980929
BR 9814055	A	20000926	BR 1998-14055	19980929
JP 3188482	B2	20010716	JP 1999-519969	19980929
HU 2000004020	A2	20010928	HU 2000-4020	19980929
HU 2000004020	A3	20021228		

TW 510902	B	20021121	TW 1998-87116198	19980929
RU 2198885	C2	20030220	RU 2000-111517	19980929
AT 233764	T	20030315	AT 1998-944289	19980929
PT 1026167	T	20030731	PT 1998-944289	19980929
ES 2191963	T3	20030916	ES 1998-944289	19980929
SK 283869	B6	20040302	SK 2000-425	19980929
CN 1523019	A	20040825	CN 2003-10104753	19980929
CN 1781913	A	20060607	CN 2005-10129622	19980929
NO 2000001500	A	20000518	NO 2000-1500	20000323

NO	319542	B1	20050829			
MX	200003047	A	20001110	MX	2000-3047	20000328
US	6372735	B1	20020416	US	2000-509494	20000329
HK	1032782	A1	20041119	HK	2001-103502	20010522
US	20020137739	A1	20020926	US	2002-73326	20020213
US	7022860	B2	20060404			
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US	20060074074	A1	20060406	US	2005-269828	20051109

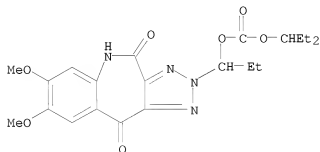
PRAI	JP	1997-264611	A	19970929
	JP	1998-52063	A	19980304
CN		2003-10104753	A3	19980929
WO		1998-JP4363	W	19980929
US		2000-509494	A3	20000329
US		2002-73326	A3	20020213

OS MARPAT 130:282073  
GI

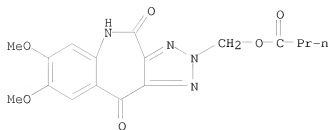


AB Tricyclic triazolobenzazepine derivs. represented by general formula [I; R1 represents hydrogen, OH, alkyl, or phenylalkyl; R2, R3, R4, and R5 each represents hydrogen, halogeno, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy, etc.; Q represents a group selected among groups of OCO2R33, O2CR34, O2CNR35R36, OP(:O)(OR37)OR38, halogeno, or alkoxy; R33 and R34 each represent (un)substituted alkyl, Ph, or (un)saturated 5- to 7-membered ring heterocyclyl, etc.; and R35 and R36 each represent hydrogen or (un)substituted alkyl or NR35R36 forms a (un)saturated 5- to 7-membered ring heterocyclyl] in the form of a prodrug. and pharmacol. acceptable salts and solvates thereof are prepared These compds. have excellent bioavailability. Thus, 1.07 g Et 5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate (preparation given) and 53 mg p-MeC6H4SO3H.H2O were suspended in CH2Cl2 and stirred with 330 mg isobutyraldehyde at room temperature for 25 min, followed by adding 744 mg 1,1'-carbonyldiimidazole in 5.0 mL CH2Cl2, and the resulting mixture was stirred at room temperature for 3 h and then refluxed with 920 mg iso-Pr alc. to give 34% Et 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate. The latter compound was hydrogenated over Pd(OH)2 in EtOAc at room temperature for 15 h to give 99% Et 5-(2-amino-4,5-dimethoxybenzoyl)-2-(1-isopropoxycarbonyloxy-2-methylpropyl)-1H-1,2,3-triazole-4-carboxylate which was heated in AcOH at 100° for 2 h with stirring to give the title compound (II) in 62% yield. When II in 0.5% aqueous methylcellulose was administered p.o. to dogs or rats, the area under the concentration time curve (AUC) value was 1.2±0.3 µmol. h/L for dogs and 1.4±0.1 µmol. h/L for rats, which was 4-times higher in dog and 7-times higher in rats compared to that of its active form. A tablet and a fine powder formulation containing II were described.

IT 222633-21-8P 222633-48-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic triazolobenzazepine derivs. as prodrugs for antiallergic agents)  
 RN 222633-21-8 CAPLUS  
 CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)propyl 1-ethylpropyl ester (CA INDEX NAME)



RN 222633-48-9 CAPLUS  
 CN Butanoic acid, (5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)methyl ester (CA INDEX NAME)



RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l2 and cellulose

1 L2

366809 CELLULOSE

4481 CELLULOSES

367324 CELLULOSE

(CELLULOSE OR CELLULOSES)

L4            0 L2 AND CELLULOSE

=> dis hist

(FILE 'HOME' ENTERED AT 14:35:15 ON 16 APR 2008)

FILE 'REGISTRY' ENTERED AT 14:35:57 ON 16 APR 2008

L1            STRUCTURE UPLOADED

L2            2 S L1 SSS SAM

FILE 'CAPLUS' ENTERED AT 14:41:24 ON 16 APR 2008

L3            1 S L2 AND ALLER?

L4            0 S L2 AND CELLULOSE

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